Application Ser. No.: 10/040,370
Filing Date: January 09, 2002
Examiner: Venkataraman Balasubramanian

Amendment Pursuant to 37 C.F.R. § 1.121

IN THE CLAIMS:

Please replace the claims on record with the claims as set forth below:

1. (Currently Amended) A compound which binds the G-quadruplex structure of a telomere comprising the following general formula:

nitrogen-containing aromatic ring – NR_3 – distribution agent – NR'_3 – nonaromatic hydrocarbon chain

in which

1) the nitrogen-containing aromatic ring represents:

a) a quinoline optionally substituted with at least

i) a group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical or

ii) a group ORa in which Ra is as defined above

b) a quinoline possessing a nitrogen atom in quaternary form

c) a benzamidine or

d) a pyridine attached at the 4-position,

2) R_3 and R'_3, which are identical or different, represent independently of each other, hydrogen or a C1-C4 alkyl radical,

3) the distribution agent represents:

a) a triazine group, a triazine group substituted with (i) an alkyl radical having 1 to 4 carbon atoms, (ii) a thiol radical, (iii) a hydroxy radical, or (iv) an amino

radical, wherein the ~~alkyl,~~ thiol, hydroxy or amino radicals are unsubstituted or substituted with

~~i)~~ one or more short-chain alkyl groups containing 1 to 4 carbon atoms ~~or~~

~~ii) a halogen atom~~ and wherein the alkyl is unsubstituted or substituted with a halogen atom or

b) a carbonyl group or

c) a group C(=NH)-NH-C(=NH) or

d) an alkyldiyl group containing 3 to 7 carbon atoms or

e) a diazine group, a diazine group substituted with (i) an alkyl radical having 1 to 4 carbon atoms, (ii) a thiol radical, (iii) a hydroxy radical, or (iv) an amino radical, wherein the ~~alkyl,~~ thiol, hydroxy or amino radicals are unsubstituted or substituted with

~~i)~~ one or more short-chain alkyl groups containing 1 to 4 carbon atoms ~~or~~

~~ii) a halogen atom~~ and wherein the alkyl is unsubstituted or substituted with a halogen atom,

or a salt thereof.

2. (Original) The compound according to claim 1, wherein the distribution agent is a triazine or diazine group.

3. (Canceled)

4. (Original) The compound according to claim 1, wherein the nonaromatic hydrocarbon chain is chosen from among

i) alkyl (C1-C4), alkenyl (C2-C4), wherein the alkyl and alkenyl are linear or branched,

ii) cycloalkyl (C3-C18)

iii) cycloalkenyl (C3-C18)

iv) heterocycloalkyl (C3-C18) and

v) heterocycloalkyl (C3-C18) including the nitrogen atom of the NR'3 group.

5. (Previously presented) The compound according to claim 4, wherein the nonaromatic hydrocarbon chain is unsubstituted or substituted with one or more atoms or radicals chosen from among halogen atoms, hydroxyl, aryl, heteroaryl, alkyloxy, aryloxy, thiol, alkylthio, arylthio, amino, alkylamino, arylamino, dialkylamino, diarylamino, amidino, guanidino, alkylcarbonylamino, arylcarbonylamino, carboxyl, alkyloxycarbonyl, aryloxycarbonyl, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, dialkylaminocarbonyl, alkylcarbonyl arylcarbonyl, cyano, trifluoromethyl, and combinations thereof.

6. (Previously presented) The compound according to claim 5, wherein the alkyl chains comprise substituents having a hydrocarbon chain containing 1 to 4 carbon atoms, and the aryl groups comprise substituents having a hydrocarbon chain containing 5 to 18 carbon atoms.

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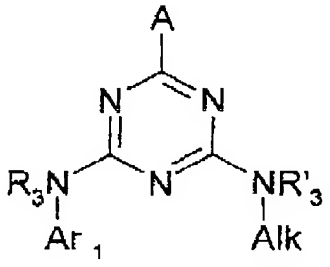
7. (Previously presented) The compound according to claim 4, wherein the alkyl chains contain 2 to 3 carbon atoms, and the heterocycloalkyl or cycloalkyl chains contain 5 to 7 carbon atoms.

8. (Previously presented) The compound according to claim 1, comprising formula (I) below:



in which:

1) A represents:

a) an amino group of formula NR1R2 in which R1 and R2, which are identical or different, represent hydrogen or a straight or branched alkyl group containing 1 to 4 carbon atoms or

b) a group OR1 or SR1 in which R1 has the same meaning as above or

c) an alkyl group containing 1 to 4 carbon atoms or a trifluoromethyl group or

d) a hydrogen atom or

e) a halogen atom chosen from fluorine, chlorine, bromine and iodine,

2) R_3 and R'_3, which are identical or different, represent independently of each other hydrogen or a C1-C4 alkyl group,

3) Ar_1 represents a nitrogen-containing aromatic ring representing:

a) a quinoline, either unsubstituted or substituted with at least

i) a group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical or

ii) a group ORa in which Ra is as defined above

b) a quinoline possessing a nitrogen atom in quaternary form

c) a benzamidine or

d) a pyridine attached at the 4-position or fused with an aryl or heteroaryl group

e) a pyridine attached at the 4-position or fused with an aryl or heteroaryl group substituted with a C1-C4 alkyl group,

4) Alk represents a nonaromatic unsubstituted or substituted hydrocarbon chain chosen from among alkyl (C1-C4), alkenyl (C2-C4), wherein the alkyl and alkenyl chain are linear or branched, cycloalkyl (C3-C18), cycloalkenyl (C3-C18) heterocycloalkyl (C3-C18), and heterocycloalkyl (C3-C18) including the nitrogen atom of the NR'3 group,

or a salt thereof.

9. (Previously presented) The compound according to claim 8, wherein the nonaromatic hydrocarbon chain is unsubstituted or substituted with one or more atoms or radicals chosen from among halogen atoms, hydroxyl, aryl, heteroaryl, alkyloxy, aryloxy, thiol, alkylthio, arylthio, amino, alkylamino, arylamino, dialkylamino, diarylamino, amidino, guanidino, alkylcarbonylamino, arylcarbonylamino, carboxyl, alkyloxycarbonyl, aryloxycarbonyl, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, dialkylaminocarbonyl, alkylcarbonyl or arylcarbonyl, cyano, trifluoromethyl, and combinations thereof.

10. (Currently amended) The compound according to claim 8, wherein Ar_1 represents 4-amino- or 4-methylamino- or 4-dimethylamino- quinolyl or quinolinium, wherein the quinolinium nucleus is ~~unsubsituted~~ unsubstituted or substituted with a methyl group.

11. (Previously presented) The compound according to claim 8, wherein group A represents a thiomethyl, amino, alkylamino or dialkylamino, in which the alkyl groups in the radicals possess 1 to 4 carbon atoms.

12. (Previously presented) The compound according to claim 8, wherein A represents a methylthio group.

13. (Previously presented) The compound according to claim 8, wherein Alk represents an alkyl containing 2 to 3 linear or branched carbon atoms, wherein the alkyl is substituted with

i) an amino, alkylamino, arylamino, dialkylamino, diarylamino, or combination thereof

ii) an alkenyl unit containing 2 to 3 carbon atoms, which is substituted with an amino, alkylamino arylamino, dialkylamino, diarylamino, heterocyclyl containing from 4 to 7 carbon atoms, or a combination thereof.

14. (Previously presented) The compound according to claim 8, wherein Alk represents a 2-(dialkylamino)ethyl, 3-(dialkylamino)propyl, 2-(N-alkyl-N-arylamino)ethyl, or 3-(N-alkyl-N-arylamino)propyl, in which the alkyl groups contain 1 to 4 carbon atoms and the aryl groups contain 5 to 18 carbon atoms.

15. (Currently amended) The compound according to claim 8, wherein Alk represents 2-(N-m-tolyl-N-ethylamino)ethyl.

16. Canceled

17. Canceled

18. (Previously presented) A compound corresponding to the following formula (I):

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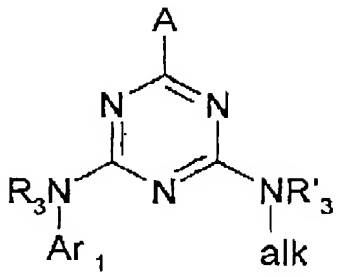

in which:

1) A represents

a) an amino group of formula NR1R2 in which R1 and R2, which are identical or different, represent hydrogen or a straight or branched alkyl group containing 1 to 4 carbon atoms or

b) a group OR1 or SR1 in which R1 has the same meaning as above

c) an alkyl group containing 1 to 4 carbon atoms or a trifluoromethyl group

d) a hydrogen atom or

e) a halogen atom chosen from fluorine, chlorine, bromine and iodine,

2) R_3 and R'_3, which are identical or different, represent independently of each other hydrogen or a C1-C4 alkyl group,

3) Ar_1 represents a nitrogen-containing aromatic ring representing:

a) a quinoline, either unsubstituted or substituted with at least

i) a group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical or

ii) a group ORa in which Ra is as defined above

b) a quinoline possessing a nitrogen atom in quaternary form or

c) a benzamidine or

d) a pyridine attached at the 4-position or fused with an aryl or heteroaryl group or

e) a pyridine attached at the 4-position or fused with an aryl or heteroaryl group substituted with a C1-C4 alkyl group,

4) alk represents a nonaromatic unsubstituted or substituted hydrocarbon chain chosen from among alkyl (C1-C4), alkenyl (C2-C4), wherein the alkyl and alkenyl chain are linear or branched, cycloalkyl (C3-C18), cycloalkenyl (C3-C18), heterocycloalkyl (C3-C18), and heterocycloalkyl (C3-C18) including the nitrogen atom of the NR'3 group,

or a salt thereof.

19. (Previously presented) The compound according to claim 18, wherein the nonaromatic hydrocarbon chain is unsubstituted or substituted with one or more atoms or radicals chosen from among halogen atoms, hydroxyl, aryl, heteroaryl, alkyloxy, aryloxy, thiol, alkylthio, arylthio, amino, alkylamino, arylamino, dialkylamino, diarylamino, amidino, guanidino, alkylcarbonylamino, arylcarbonylamino, carboxyl, alkyloxycarbonyl, aryloxycarbonyl, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, dialkylaminocarbonyl, alkylcarbonyl, arylcarbonyl, cyano, trifluoromethyl, and combinations thereof.

20. (Previously presented) The compound according to claim 18, wherein Ar_1 represents 4-amino- or 4-methylamino- or 4-dimethylamino-quinolyl or quinolinium, wherein the quinolinium nucleus is unsubstituted or substituted with a methyl group.

21. (Previously presented) The compound according to claim 18, wherein group A represents a thiomethyl, amino, alkylamino or dialkylamino, in which the alkyl groups in the radicals possess 1 to 4 carbon atoms.

22. (Previously presented) The compound according to claim 18, wherein R1 and R2 represent hydrogen.

23. (Previously presented) The compound according to claim 21, wherein A represents a methylthio group.

24. (Previously presented) The compound according to claim 18, wherein alk represents

i) an alkyl containing 2 to 3 linear or branched carbon atoms which is substituted with an amino, alkylamino, arylamino, dialkylamino, diarylamino, or combination thereof,

ii) an alkenyl unit containing 2 to 3 carbon atoms, which is substituted with an amino, alkylamino, arylamino, dialkylamino, diarylamino, or combination thereof, or

iii) a heterocyclyl containing from 4 to 7 carbon atoms.

25. (Previously presented) The compound according to claim 18, wherein alk represents 2-(dialkylamino)ethyl, 3-(dialkylamino)propyl, 2-(N-alkyl-N-arylamino)ethyl or 3-(N-alkyl-N-arylamino)propyl, in which the alkyl groups contain 1 to 4 carbon atoms and the aryl groups contain 5 to 18 carbon atoms.

26. (Currently amended) The compound according to claim 24, characterized in that alk represents a 2-(N-m-tolyl-N-ethylamino)ethyl.

27. (Canceled)

28. (Original) A therapeutic composition comprising a compound according to claim 1 and one or more anticancer compounds.

29. (Original) The composition according to claim 28, wherein the one or more anticancer compounds are chosen from among alkylating agents, platinum derivatives, antibiotic agents, antimicrotubule agents, anthracyclines, group I and II topoisomerases, fluoropyrimidines, cytidine analogs, adenosine analogs, L-asparaginase, hydroxyurea,

trans-retinoic acid, suramine, irinotecan, topotecan, dexrazoxane, amifostine, herceptin, estrogenic hormones, and androgenic hormones.

30. (Canceled)

31. (Previously presented) A method of using the composition of claim 29, wherein the individual compounds are administered in a therapeutically effective amount to a patient simultaneously, separately or sequentially.

32. (Previously presented) A method of inhibiting telomerase activity, comprising administering a therapeutically effective amount of one or more compounds of claim 1 to a patient, wherein the level of telomerase activity in the patient following the administration is reduced relative to the level of telomerase activity existing prior to the administration.

33. (Previously presented) A method of treating a cancer, comprising administering a therapeutically effective amount of one or more compounds of claim 1 to a patient in need of such a treatment, wherein the level of telomerase activity following the administration is reduced relative to the level of telomerase activity existing prior to the administration.

34. (Previously presented) A pharmaceutical composition comprising one or more compounds of claim 1, and a pharmaceutically acceptable carrier.

35. (Previously presented) A therapeutic combination consisting of the administration of one or more compounds according to claim 1 and the administration of radiation.